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(56) Documents Cited

**EP 0943326 A1**

**EP 0571671 A1**

**WO 1996/005810 A1**

**WO 1996/003142 A1**

**WO 1995/028158 A1**

**WPI Abstract Accession No 1995-203751/27 &**  
**JP7101817A (DOT KK)**

(58) Field of Search

UK CL (Edition T ) **A5B BJC BX**

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Other: **ONLINE: CAS-ONLINE, EPODOC, JAPIO, TXTE**  
**& WPI**

(54) Abstract Title

**Nasal delivery of pharmaceutical compositions in powder form**

(57) The invention relates to methods of preparation of vaccines and pharmaceutical compositions for Nasal delivery. The nasal method of delivery of medicaments comprises new ways of treatment of individuals. The objective of the invention is to develop needle free drug delivery systems to facilitate faster delivery to the target in lower dosage. The introduction of the medicament in powder form in the nose by relative ease is attractive method in terms of patient compliance the other aspect of the invention relates to the Nasal delivery of pharmaceutical compositions of neurologic agents by means of olfactory neutral pathways. These agents included naturally occurring nerve growth promoting factors including phosphotidyl serine, insulin and insulin like growth factors.

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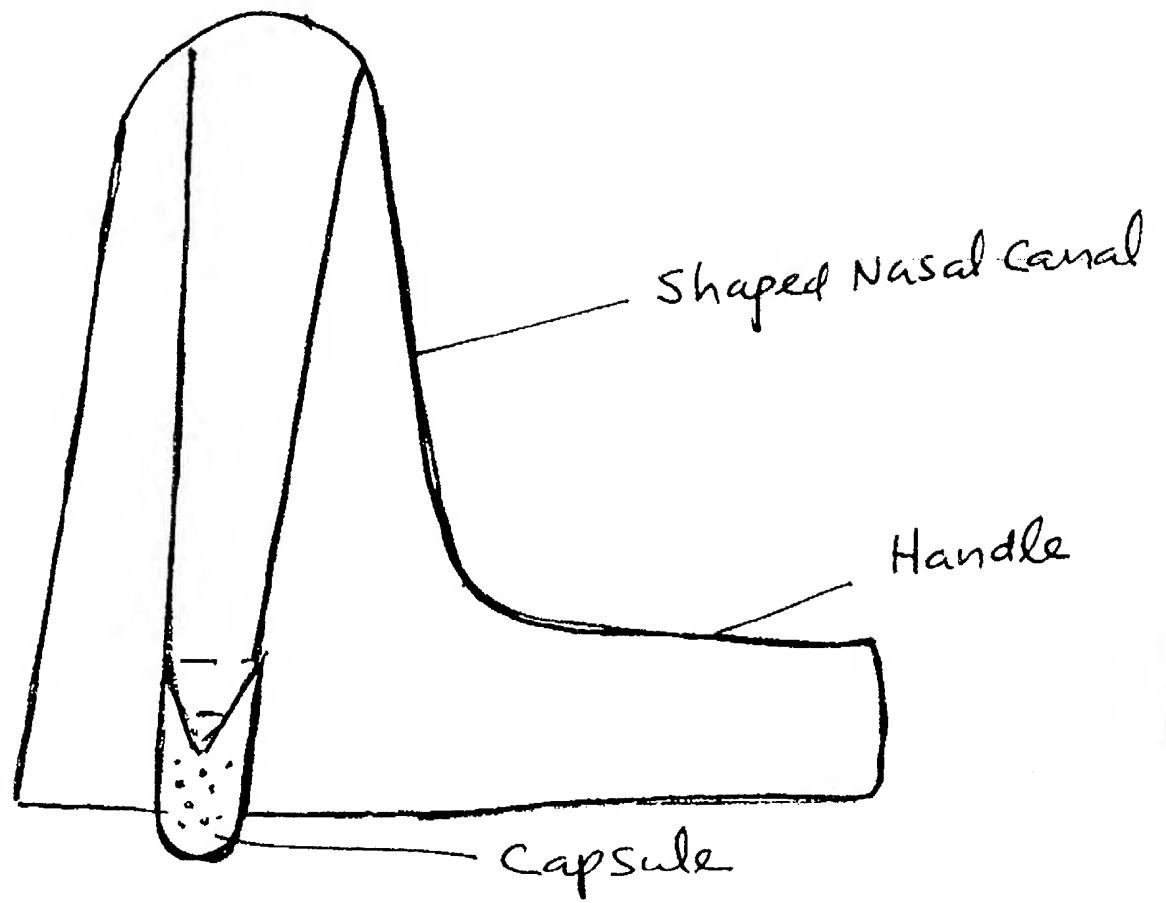


FIG 1.

**Nasal Delivery of pharmaceutical compositions including vaccines and biotechnological products.**

Patent Application Number:

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**Description:** The invention relates to methods of preparation of pharmaceutical and vaccines compositions for Nasal delivery. The nasal method of delivery of medicaments comprises new ways of treatment of individuals. The objective of the invention is to develop needle free drug delivery systems to facilitate faster delivery to the target in lower dosage.

The introduction of the medicament in powder form in the nose by relative ease is attractive method in terms of patient compliance the other aspect of the invention relates to the Nasal delivery of pharmaceutical compositions of neurologic agents by means of olfactory neutral pathways. Theses agents included naturally occurring nerve growth promoting factors including phosphotidyl serine, insulin and insulin like growth factors.

**Background to the Invention:**

There are three main reasons for delivery of medicaments via the nose;

- a) Convenience
- b) Topical effect on the epithelium lining
- c) Systemic effect- superficial vessels can facilitate rapid absorption directly into the blood stream.

The nasal cavity area for drug absorption measuring approx 160cm<sup>2</sup> and the turbulent airflow through the nose encourages inertial impaction of suspended particles into blanket of mucous covering highly vascularised nasal mucousa. The rapid passage of drugs across the nasal epithelium and into blood stream is the major advantage of nasal route of delivery, making the nose an ideal alternative to inject able route for some acute treatments as well as maintenance therapies currently delivered by injection. The delivery of medicaments and vaccines or peptides by Nasal route is promising as these areas have less enzymatic activity which might destroy polypeptides The problems have been encountered I the past for nasal delivery of macromolecules as only small portion of the drug could be absorbed. The other barrier of nasal bioavailability included mucocilliary clearance of the drug to the back of throat. The administration of peptides with aqueous nasal sprays has also proved problematic to include low bioavailability, local irritation of nasal mucosa.

The invention relates to the medicaments in a carrier system in powder form (in preservative free form to avoid irritation) manufactured using spray method of the active medicament on a carrier dextrin powder or locust bean powder, or Soya powder or milk powder which is inhaled by a device and active medicament comes in direct contact with mucosa and contributes to higher absorption These biotechnological products are more stable in dry solid state. It has been demonstrated by Scientists that a powder formulation remains longer on the nasal mucosa than a liquid formulation and particle size in nasal formulation is less critical. The dry powder bi-dose system consists of two profiled blisters that ensure optimum protection against vapor transmission, oxygen and light. The aerodynamic feature combined with easy actuation mode facilitates accurate and constant dosing in terms of expelled amount and inhalation forces.

Delivers evenly over wider surface of nasal mucosa

**CLAIMS;**

1. The inventor claims the delivery of neurologic agents for treatments of disorders such as Alzheimer's disease, Parkinson's disease, Aids, Schizophrenia, affective disorders such as depression, mania, anxiety, addiction of substances such as opium etc, brain changes associated with age, pain due to head injuries, nerve damage from cardiovascular disorders such as stroke
2. The inventor claims of delivery of vaccines (in particular influenza, vaccines for infectious diseases and diabetes) and peptides (in particular insulin and calcitonin) and new class of biotechnological products.
3. The neurologic agent administered in powder form with the active sprayed or impregnated on carrier. The carrier examined included dextrin, locust bean powder, Soya powder or milk powder.
4. The inventor claims delivery of analgesics including Morphine and new class of peptides/biotechnology products in spray powder form.
5. The inventor claims pharmaceutical composition of Serotonin (in spray powder form) for nasal delivery for treatment of depression.
6. The inventor claims pharmaceutical composition of Phosphatidyl serine for nasal delivery for enhancement of memory in pharmaceutical composition in spray powder form.
7. The inventor claims the active medicament spray method on carrier's dextrin, lipophilic compounds, chitosan, locust bean powder, Fenugreek powder, Soya flour, spray dried milk etc.
8. The pharmaceutical compositions/or vaccines in powder form sprayed on dextrin, locust bean powder, milk powder or Soya powder etc. for Nasal delivery
9. The inventor claims Nasal Delivery Device comprised of Shaped Nasal Canal (SNC) with inner tube fitting into the capsule containing powder (as shown in Fig 1) in single dose. A new capsule pre-filled with powder dosage can be used for each dose.

The dry powder bi-dose system made of two profiled blisters ensure optimum protection against vapor transmission, oxygen and light. The aerodynamic feature combined with easy actuation mode facilitates accurate and constant dosing in terms of expelled amount and inhalation forces. The system delivers evenly over wider surface of nasal mucosa. The invention offers innovative delivery of pharmaceutical compositions by Nasal route.



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**Application No:** GB 0113725.6  
**Claims searched:** 1-8

**Examiner:** Dr William Thomson  
**Date of search:** 5 December 2002

## Patents Act 1977 : Search Report under Section 17

### Documents considered to be relevant:

| Category | Relevant to claims | Identity of document and passage or figure of particular relevance   |
|----------|--------------------|--|
| X        | 1-8                | EP 0943326A1 (TEIJIN LIMITED)<br>See whole document, in particular page 4, line 14 - page 5, line 11, Example 26 and claim 14                  |
| X        | 1-8                | EP 0571671A1 (THE PROCTOR & GAMBLE COMPANY)<br>See whole document, in particular page 3, lines 7-9 and 22-51, Examples 1 and 2 and claims 1-12 |
| X        | 1-8                | WO 96/05810A1 (DANBIOSYST UK LIMITED)<br>See whole document, in particular page 1, lines 3-7, Example 14 and claims 1-13                       |
| X        | 1-8                | WO 96/03142A1 (DANBIOSYST UK LIMITED)<br>See whole document, in particular page 1, lines 4-6, Examples 4-6 and claims 1-12                     |
| X        | 1-8                | WO 95/28158A1 (JANSSEN PHARMACEUTICA N.V.)<br>See whole document, in particular page 1, lines 11-12, Examples 1-5 and claims 1-10              |
| X        | 1-8                | WPI Abstract Accession No 1995-203751/27 & JP71018170A (DOT KK)<br>See abstract  |

### Categories:

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|---|--|
| X Document indicating lack of novelty or inventive step   | A Document indicating technological background and/or state of the art.  |
| Y Document indicating lack of inventive step if combined with one or more other documents of same category. | P Document published on or after the declared priority date but before the filing date of this invention.          |
| & Member of the same patent family  | E Patent document published on or after, but with priority date earlier than, the filing date of this application. |



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**Field of Search:**

Search of GB, EP, WO & US patent documents classified in the following areas of the UKC<sup>T</sup>:

A5B

Worldwide search of patent documents classified in the following areas of the IPC<sup>T</sup>:

A61K

The following online and other databases have been used in the preparation of this search report:

ONLINE: CAS-ONLINE, EPODOC, JAPIO, TXTE & WPI